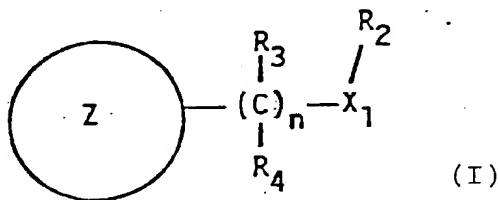




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification⁴ : C07J 41/00, 43/00, A61K 31/56 A61K 31/58, C07C 87/34, 87/455 C07D 213/38, C07F 9/24 C07F 9/22, A61K 31/13</p>	A3	<p>(11) International Publication Number: WO 87/ 02367</p> <p>(43) International Publication Date: 23 April 1987 (23.04.87)</p>
<p>(21) International Application Number: PCT/US86/02116</p> <p>(22) International Filing Date: 7 October 1986 (07.10.86)</p> <p>(31) Priority Application Numbers: 788,995 843,120</p> <p>(32) Priority Dates: 18 October 1985 (18.10.85) 24 March 1986 (24.03.86)</p> <p>(33) Priority Country: US</p> <p>(60) Parent Applications or Grants (63) Related by Continuation US 843,120 (CIP) Filed on 24 March 1986 (24.03.86) US 788,995 (CIP) Filed on 18 October 1985 (18.10.85)</p> <p>(71) Applicant (for all designated States except US): THE UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).</p>		<p>(72) Inventors; and (75) Inventors/Applicants (for US only) : JOHNSON, Roy, A. [US/US]; 2122 Frederick Avenue, Kalamazoo, MI 49008 (US). BUNDY, Gordon, L. [US/US]; 7622 Ravenswood Drive, Kalamazoo, MI 49002 (US). YOUNGDALE, Gilbert, A. [US/US]; 1702 Greenbri- ar Drive, Portage, MI 49002 (US). MORTON, Doug- las, R. [US/US]; 3128 Tattersal Road, Portage, MI 49081 (US).</p> <p>(74) Agent: KOIVUNIEMI, Paul, J.; Patent Law Depart- ment, The Upjohn Company, Kalamazoo, MI 49001 (US).</p> <p>(81) Designated States: AT (European patent), BE (Euro- pean patent), CH (European patent), DE (European patent), FR (European patent), GB (European pa- tent), IT (European patent), JP, LU (European pa- tent), NL (European patent), SE (European patent), US, US.</p> <p>Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</p> <p>(88) Date of publication of the international search report: 30 June 1988 (30.06.88)</p>

(54) Title: CYCLIC HYDROCARBONS WITH AN AMINOALKYL SIDECHAIN



(57) Abstract

Provided are cyclic hydrocarbons of Formula (I) with an aminoalkyl sidechain that are useful for treating phospholipase A2-mediated conditions, diabetes and obesity.

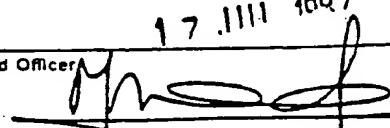
FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AT	Austria	FR	France	ML	Mali
AU	Australia	GA	Gabon	MR	Mauritania
BB	Barbados	GB	United Kingdom	MW	Malawi
BE	Belgium	HU	Hungary	NL	Netherlands
BG	Bulgaria	IT	Italy	NO	Norway
BJ	Benin	JP	Japan	RO	Romania
BR	Brazil	KP	Democratic People's Republic of Korea	SD	Sudan
CF	Central African Republic	KR	Republic of Korea	SE	Sweden
CG	Congo	LI	Liechtenstein	SN	Senegal
CH	Switzerland	LK	Sri Lanka	SU	Soviet Union
CM	Cameroon	LU	Luxembourg	TD	Chad
DE	Germany, Federal Republic of	MC	Monaco	TG	Togo
DK	Denmark	MG	Madagascar	US	United States of America
FI	Finland				

INTERNATIONAL SEARCH REPORT

International Application No PCT/US 86/02116

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) *		
According to International Patent Classification (IPC) or to both National Classification and IPC ⁴ C 07 J 41/00; C 07 J 43/00; A 61 K 31/56; A 61 K 31/58; IPC ⁴ C 07 C 87/34; C 07 C 87/455; C 07 D 213/38; C 07 F 9/24; ./.		
II. FIELDS SEARCHED		
Minimum Documentation Searched ⁷		
Classification System	Classification Symbols	
IPC ⁴	C 07 J 41/00; C 07 J 43/00; C 07 C 87/00; C 07 C 213/00	
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched *		
III. DOCUMENTS CONSIDERED TO BE RELEVANT ⁸		
Category *	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³
X	FR, A, 1421230 (ROUSSEL UCLAF) 8 November 1965, see the whole document --	7
X	US, A, 3284475 (P.D. KLIMSTRA) 8 November 1966, see the whole document --	7
X	DE, A, 1187236 (G.D. SEARLE) 18 February 1965, see the whole document --	5
X	US, A, 3370070 (P.D. KLIMSTRA) 20 February 1968, see the whole document cited in the application --	6
X	US, A, 3284474 (P.D. KLIMSTRA) 8 November 1966, see the whole document cited in the application --	5
X	US, A, 3639598 (P.D. KLIMSTRA) 1 February 1972, see the whole document --	5
X	US, A, 3107255 (R.E. COUNSELL) 15 October 1963, see the whole document -- ./.	4, 5
<p>* Special categories of cited documents: ¹⁰</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"A" document member of the same patent family</p>		
IV. CERTIFICATION		
Date of the Actual Completion of the International Search 10th June 1987		Date of Mailing of this International Search Report 17.11.11 1987
International Searching Authority EUROPEAN PATENT OFFICE		Signature of Authorized Officer M. VAN MOL 

INTERNATIONAL SEARCH REPORT

-2-

International Application No **PCT/US 86/02116**

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) * According to International Patent Classification (IPC) or to both National Classification and IPC IPC ⁴ : C 07 F 9/22; A 61 K 31/13																	
II. FIELDS SEARCHED <div style="text-align: center; border-top: 1px solid black; border-bottom: 1px solid black;">Minimum Documentation Searched ⁷</div> <table style="width: 100%; border-collapse: collapse;"> <tr> <th style="width: 25%; text-align: left; border-bottom: 1px solid black;">Classification System</th> <th style="text-align: left; border-bottom: 1px solid black;">Classification Symbols</th> </tr> <tr> <td style="border-right: 1px solid black; padding: 5px; vertical-align: top;">IPC⁴</td> <td style="padding: 5px;"></td> </tr> </table> <div style="text-align: center; border-top: 1px solid black; border-bottom: 1px solid black;">Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched ⁸</div>			Classification System	Classification Symbols	IPC ⁴												
Classification System	Classification Symbols																
IPC ⁴																	
III. DOCUMENTS CONSIDERED TO BE RELEVANT ⁹ <table style="width: 100%; border-collapse: collapse;"> <tr> <th style="width: 10%; text-align: left; border-bottom: 1px solid black;">Category ⁹</th> <th style="text-align: left; border-bottom: 1px solid black;">Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²</th> <th style="width: 10%; text-align: left; border-bottom: 1px solid black;">Relevant to Claim No. ¹³</th> </tr> <tr> <td style="text-align: center; vertical-align: top; padding: 5px;">X</td> <td style="padding: 5px;">US, A, 3084156 (R.E. COUNSELL) 2 April 1963, see the whole document --</td> <td style="text-align: center; vertical-align: top; padding: 5px;">5</td> </tr> <tr> <td style="text-align: center; vertical-align: top; padding: 5px;">X</td> <td style="padding: 5px;">Journal of Medicinal Chemistry, volume 9, no. 3, May 1966, (Washington DC, US) P.D. Klimstra et al.: "Hypo-cholesterolemic agents. VI. A- and B-ring modified azacholesterols", pages 323-326, see pages 323-326 cited in the application --</td> <td style="text-align: center; vertical-align: top; padding: 5px;">5, 6, 7</td> </tr> <tr> <td style="text-align: center; vertical-align: top; padding: 5px;">X</td> <td style="padding: 5px;">GB, A, 1074578 (AYERST, MCKENNA & HARRISON LTD) 5 July 1967, see the whole document --</td> <td style="text-align: center; vertical-align: top; padding: 5px;">8</td> </tr> <tr> <td style="text-align: center; vertical-align: top; padding: 5px;">X</td> <td style="padding: 5px;">FR, A, 1337759 (MAGGIONI & C.) 12 August 1963, see the whole document -----</td> <td style="text-align: center; vertical-align: top; padding: 5px;">8</td> </tr> </table>			Category ⁹	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³	X	US, A, 3084156 (R.E. COUNSELL) 2 April 1963, see the whole document --	5	X	Journal of Medicinal Chemistry, volume 9, no. 3, May 1966, (Washington DC, US) P.D. Klimstra et al.: "Hypo-cholesterolemic agents. VI. A- and B-ring modified azacholesterols", pages 323-326, see pages 323-326 cited in the application --	5, 6, 7	X	GB, A, 1074578 (AYERST, MCKENNA & HARRISON LTD) 5 July 1967, see the whole document --	8	X	FR, A, 1337759 (MAGGIONI & C.) 12 August 1963, see the whole document -----	8
Category ⁹	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³															
X	US, A, 3084156 (R.E. COUNSELL) 2 April 1963, see the whole document --	5															
X	Journal of Medicinal Chemistry, volume 9, no. 3, May 1966, (Washington DC, US) P.D. Klimstra et al.: "Hypo-cholesterolemic agents. VI. A- and B-ring modified azacholesterols", pages 323-326, see pages 323-326 cited in the application --	5, 6, 7															
X	GB, A, 1074578 (AYERST, MCKENNA & HARRISON LTD) 5 July 1967, see the whole document --	8															
X	FR, A, 1337759 (MAGGIONI & C.) 12 August 1963, see the whole document -----	8															
<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>* Special categories of cited documents: ¹⁰</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&" document member of the same patent family</p> </div> </div>																	
IV. CERTIFICATION <table style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 50%; border-bottom: 1px solid black; padding: 5px;">Date of the Actual Completion of the International Search</td> <td style="width: 50%; border-bottom: 1px solid black; padding: 5px;">Date of Mailing of this International Search Report <div style="text-align: right; font-weight: bold; font-size: 1.2em;">17 JUL 1987</div></td> </tr> <tr> <td style="border-bottom: 1px solid black; padding: 5px;">International Searching Authority <div style="text-align: center; font-weight: bold; margin-top: 5px;">EUROPEAN PATENT OFFICE</div></td> <td style="border-bottom: 1px solid black; padding: 5px;">Signature of Authorized Officer</td> </tr> </table>			Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report <div style="text-align: right; font-weight: bold; font-size: 1.2em;">17 JUL 1987</div>	International Searching Authority <div style="text-align: center; font-weight: bold; margin-top: 5px;">EUROPEAN PATENT OFFICE</div>	Signature of Authorized Officer											
Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report <div style="text-align: right; font-weight: bold; font-size: 1.2em;">17 JUL 1987</div>																
International Searching Authority <div style="text-align: center; font-weight: bold; margin-top: 5px;">EUROPEAN PATENT OFFICE</div>	Signature of Authorized Officer																

FURTHER INFORMATION CONTINUED FROM THE SECOND SHEET

V. ☒ OBSERVATIONS WHERE CERTAIN CLAIMS WERE FOUND UNSEARCHABLE¹

This International search report has not been established in respect of certain claims under Article 17(2) (a) for the following reasons:

1. ☒ Claim numbers XX because they relate to subject matter not required to be searched by this Authority, namely:

XX Claims 1, 2, 9, 11, 12

See PCT Rule 39.1 (iv):

Methods for treatment of the human or animal body by means of surgery or therapy, as well as diagnostic methods.

2. ☐ Claim numbers because they relate to parts of the International application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claim numbers because they are dependent claims and are not drafted in accordance with the second and third sentences of PCT Rule 6.4(a).

VI. ☐ OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING²

This International Searching Authority found multiple inventions in this International application as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims of the international application.
2. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims of the international application for which fees were paid, specifically claims:
3. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claim numbers:
4. ☐ As all searchable claims could be searched without effort justifying an additional fee, the International Searching Authority did not invite payment of any additional fee.

Remark on Protest

- ☐ The additional search fees were accompanied by applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

ANNEX TO THE INTERNATIONAL SEARCH REPORT ON

INTERNATIONAL APPLICATION NO.

PCT/US 86/02116 (SA 15047)

This Annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report. The members are as contained in the European Patent Office EDP file on 01/07/87

The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
FR-A- 1421230		None	
US-A- 3284475		None	
DE-A- 1187236		None	
US-A- 3370070		None	
US-A- 3284474		None	
US-A- 3639598	01/02/72	None	
US-A- 3107255		None	
US-A- 3084156		None	
GB-A- 1074578		CH-A- 452508 NL-A- 302345 BE-A- 641640 US-A- 3228976 US-A- 3202712 DE-A- 1241443 FR-M- 3559 FR-A- 1387971 US-A- 3244750 US-A- 3202703	
FR-A- 1337759		None	

For more details about this annex :
see Official Journal of the European Patent Office, No. 12/82